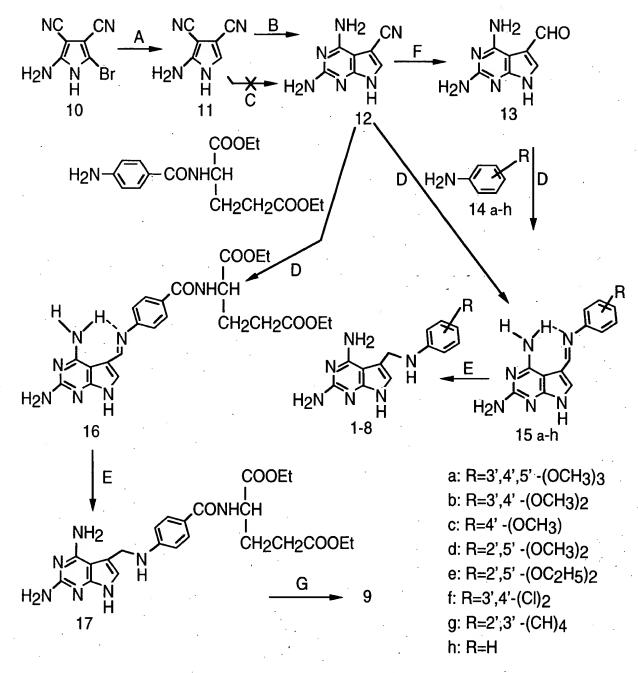
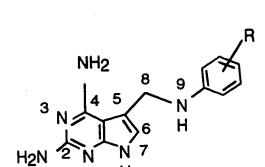
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- (A) Pd-BaCO₃/DMF/MeOH; (B) chlorformamidine/Dowtherm-A; (C) guanidine HCl/NaOEt/Δ;
- (D) Raney Ni/80% AcOH/MeOH; (E) NaCNBH3/MeOH/AcOH; (F) HCOOH/Raney Ni;∆;
- (G)_1_N_NaOH/MeOH/rt.



1: R=3',4',5' -(OCH3)3

2: R=3',4' -(OCH3)2

3: R=4' -(OCH3)

4: R=2',5' -(OCH3)2

5: R=2',5' -(OC₂H₅)₂

6: R=3',4'-(Cl)2

7: R=2',3' -(CH)4

8: R=H

FIG. 2

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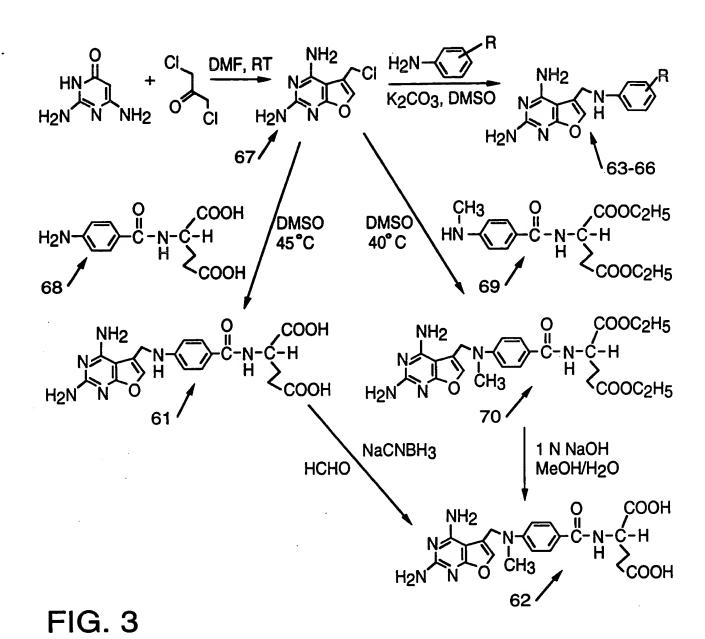


FIG. 4

FIG. 5

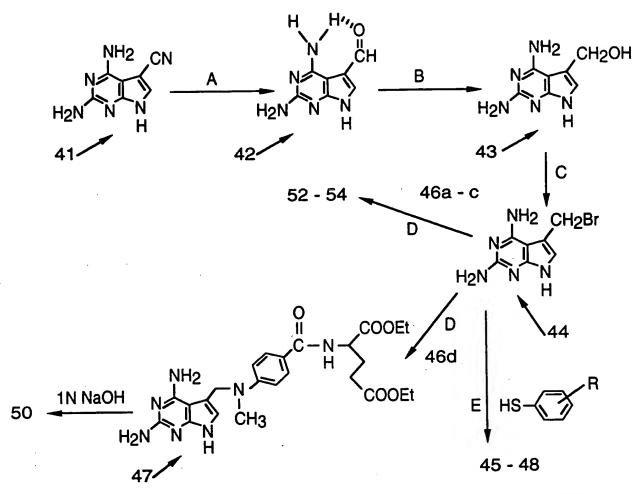
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FIG. 6

33 R=H

APPROVED	O.G. FIG.	
BY •	CLASS	SUBCLASS
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(A): Raney Ni/HCOOH; (B): NaBH3; (C): 30% HBr-AcOH; (D): DMF; (E): NaH/DMF

FIG. 8

DOSCINGH. DSPICO



NH2 NH2 N N N R1 N N H

$$R_1 = H: R_2 =$$

51a: 2', 5' - (OCH3)2

51b: 3', 4' - (Cl)2

51c: 2', 3' - (CH)4

 $R_1 = CH_3: R_2 =$

52: 2', 5' - (OCH3)2

53: 3', 4' - (Cl)2

54:2', 3' - (CH)4

55: 3', 4' - (OCH3)2

56: 3', 4' - (Cl)2

57: 2', 3' - (CH)4

58: 3', 4' - (CH)4

$$\begin{array}{c} O \\ II \\ C - N \\ H \end{array} \begin{array}{c} COOH \\ C \\ H \end{array}$$

R=

59 : H

60: CH₃

FIG. 9

FIG. 11a

R₁

120-140°C

CH3CH2OCH2CH2OH

FIG. 11c

50-98%

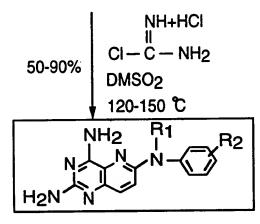


FIG. 11d

 $R_1 = H, R_2 = 3',4'-diOMe$

 $R_1 = H, R_2 = H$

 $R_1 = H$, $R_2 = 2',5'$ -diOMe

 $R_1 = H, R_2 = 4'-Cl$

 $R_1 = H$, $R_2 = 2'$ -OMe

 $R_1 = H, R_2 = 4'-OMe$

 $R_1 = H$, $R_2 = 3',4',5'-triOMe$

 $R_1 = CH_3$, $R_2 = 3',4',5'-triOMe$

 $R_1 = CH_3$, $R_2 = 2',5'-diOMe$

 $R_1 = CH_3$, $R_2 = 3',4'-diOMe$

 $R_1 = CH_3$, $R_2 = 3',4',5'-triOMe$

 $R_1 = CH_3, R_2 = H$

 $R_1 = H$, $R_2 = 3',4'-C_4H_4$

 $R_1 = CH_3$, $R_2 = 3',4'-C_4H_4$

 $R_1 = CH_3$, $R_2 = 2',3'-C_4H_4$

FIG. 12a

Fe/HCI, MeOH reflux

FIG. 12c

FIG. 12d

FIG. 12f R=4'-OMe

FIG. 12g R=3',4'-OMe

FIG. 12h R=2'-OMe

FIG. 12e

FIG. 12i R=4'-OMe

FIG. 12j R=3',4'-OMe

FIG. 12k R=2'-OMe

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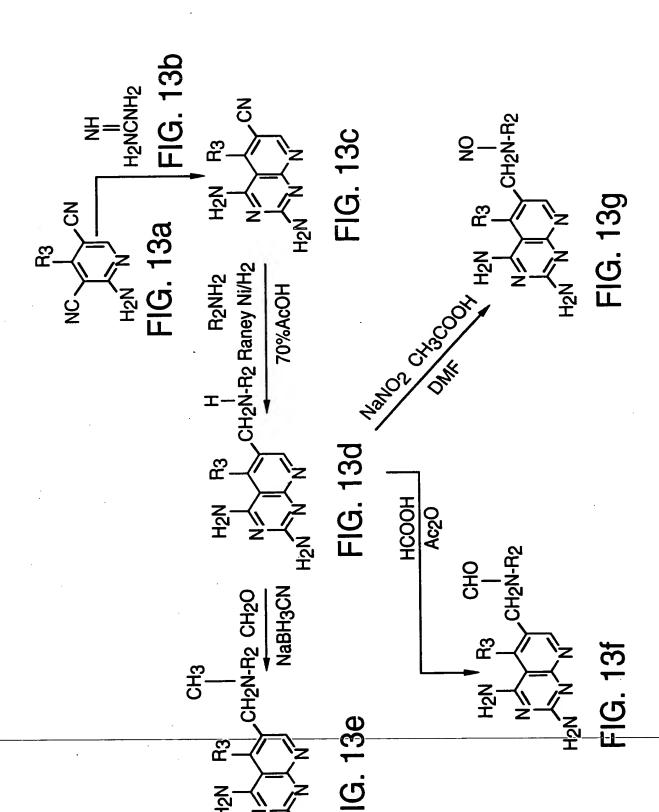


FIG. 14a

NH₂ CHO

FIG. 14b

NaBH₄, MeOH

NH₂ CH₂OH

FIG. 14c

NH2 CH2Br H2N N HBr gas, dioxane

FIG. 14d

HS-R, DMAC, K₂CO₃ or NaCO₃

 NH_2 NH_2

FIG. 14e R = Phenyl

FIG. 14f R = Napthylene

NO2

NH2

APPROVED O.G. FIG.
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COCCUSS. CSEUCO

FIG. 17c

FIG. 17d

FIG. 17e

, NZH

Cl 3 Steps

(CF3CO)20, 61% H2O2, CH2Cl2 r.t., 24 h FIG. 18b FIG. 18c CH3CONH Guanidine carbonate EtOCH2CH2OH ii.) saturated NaHCO3, r.t., 1.5 h 100% i.) Ac2O, DMAP, Et3N CH2Cl2, reflux, 20h 140°C, 1 h 100% FIG. 18d FIG. 18a

HS — CH3 NaH, anhyd. DMF

64%

125°C, 12 h

FIG. 18e

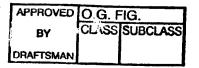
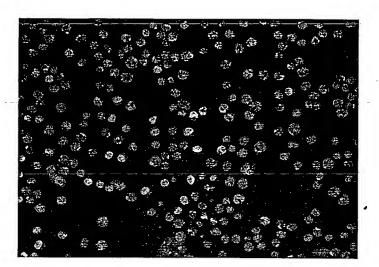




Fig. 1A



Fig. 1B



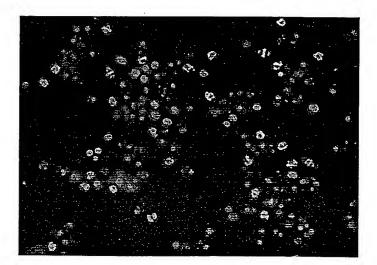
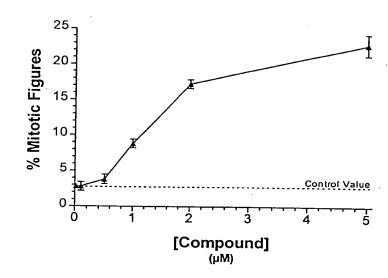


Fig. 2



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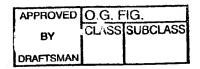
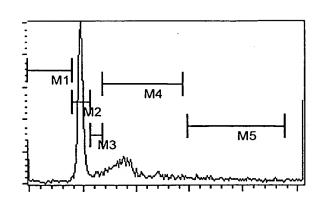
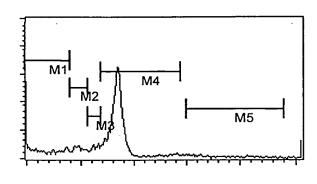


Fig. 3A



M <u>arker</u>	%
Al	100.0
M1	2.6
M2	42.4
МЗ	3.8
M4	34.8
M5	9.8

Fig. 3B



M <u>arker</u>	%
All	100.0
M1	25.1
M2	7.4
МЗ	5.3
M4	57.2
M5	3.8

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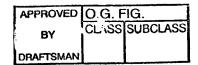
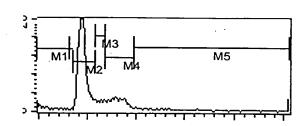


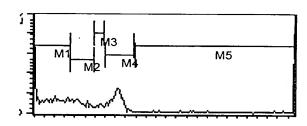
Fig. 4A



Marker	%
All	100.0
M1	3.3
M2	68.4
МЗ	6.1
M4	16.5
M5	5.5

Fig. 4B

DOZEGLEO OLZEOL



Marke	%
Al	100.0
M1	56.1
. M2	13.7
МЗ	4.3
M4	18.5
M5	7.3

APPROVED	O.G. F	iG.
BY	CLASS	SUBCLASS
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Fig. 5

